

New 2'-O-alkyl-oligo-ribonucleotide(s) with 8-35 nucleotide units - useful as anti-sense oligo-nucleotide(s), primers and probes

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Abstract

The following are claimed: (A) Prepn. of an oligoribonucleotide (A), based on 8-35 nucleotides of formula (II) (or a 2'-OH or 2'-deoxy deriv.) comprises: (a) linking the corresp. ribonucleotide building blocks in the presence of a coupling agent; and (b) opt. incorporating labelled gps. and/or lipophilic gps. in (A) and opt. cleaving protecting gps.. In (II), B = cytosine, uracil, adenine, guanine or inosine; R = 1-30C alkyl; E1 = a phosphate diester-, methyl phosphonate, phosphoramidate- or phosphorothioate-residue; Provided that (i) at least one R is 2-30C alkyl and (ii) the terminal 3' and 5' positions are an OH gp. (opt. modified by a labelled or lipophilic gp.) or a phosphite ester-, H-phosphonate- or phosphate ester-residue. (B) Prepn. of an oligoribonucleotide (A'), based on 8-35 nucleotides; (C) (A) are new (A'') when the terminal 3' and 5' positions are OH (opt. modified by labelled or lipophilic gps.) or a phosphate ester residue; (D) Prepn. of nucleosides of formula (III) where B'' = opt. protected cytosine, uracil, adenine, guanine or inosine; R'' = 2-30C alkyl when B is opt. protected adenine, otherwise 1-30C. (E) (III) are new (i) when B'' is guanine protected in 6-position by nitrophenylethyl and R'' is methyl, and (ii) when R'' is 2-30C alkyl and B'' is opt. protected cytosine, inosine, uracil or guanine. (F) Alkylated ribonucleotide building blocks are new; and (G) Liposomes, in which are incorporated (A'') modified by 3'-cholesterol or 3'-thiocholesterol, are new.
USE - (A'') are useful as antisense oligonucleotides, probes, primers or primer sections. They may be used to inhibit gene expression or virus replication.

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